## **EAST Search History**

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	1	("6967212").PN.	USPAT	OR	OFF	2006/12/05 07:56
L2	1	("6414002").PN.	USPAT	OR	OFF	2006/12/05 07:58
L3	1	("6919358").PN.	USPAT	OR	OFF	2006/12/05 07:59
L4	1	("6653314").PN.	USPAT	OR	OFF	2006/12/05 08:00
L5	1	("6727271").PN.	USPAT	OR	OFF	2006/12/05 08:00
L6	1	("7105556").PN.	USPAT	OR	OFF	2006/12/05 08:09
L7 _	1	("7084162").PN.	USPAT	OR	OFF	2006/12/05 08:09
L8	1	("7053106").PN.	USPAT	OR	OFF	2006/12/05 08:11
L9	1	("6875782").PN.	USPAT	OR	OFF	2006/12/05 08:23
L10	4088	548/235 OR 544/297 OR 514/275 OR 514/374	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	ON	2006/12/05 08:25
L11	1139	L10 AND (1,3-OXAZOL OR OXAZOLE OR 1,3-OXAZOLYL)	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	ON	2006/12/05 08:25
L12	545	L11 AND (DIABETES OR DIABETIC OR ANTIDIABETIC OR HYPOGLYCEMIC OR HYPERGLYCEMIA OR INSULIN OR (GLUCOSE ADJ INTOLERANCE))	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	ON	2006/12/05 08:31
L13	0	L12 AND 2-PHENYL-1,3-OXAZOL	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	ON	2006/12/05 08:29
L14	3	L12 AND 2-PHENYL-1,3-OXAZOLE	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	ON ·	2006/12/05 08:30
L16	93	L12 AND PYRROLIDIN	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	ON	2006/12/05 08:31

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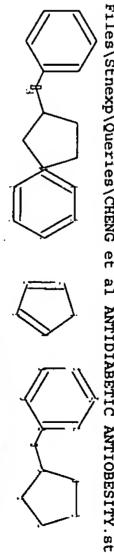
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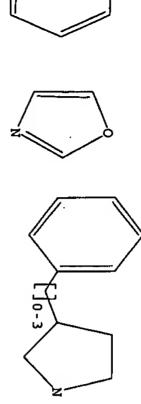
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B.; Tymiak, Jack Z.

SO Department (Chirality (CODEN: CHRL) of Chemistry, Syracuse University, (2006), 18(9), 746-753 EP; ISSN: 0899-0042 Syracuse, NY, USA

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Drescher, Karla; Haupt, Andreas; Unger, Liliane; Turner, Sean C.; Braje, Wilfried; Grandel, Roland; Henry, Christophe; Backfisch, Gisela; Beyerbach, Armin; Lubisch, Wilfried Abbott Gmbh & Co. KG, Germany

PCT Int. Appl., 191 pp.

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Bioorganic & Medicinal Chemistry Letters (2004), 14(13), 3419-3424 CODEN: BMCLE8; ISSN: 0960-894X
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TI Preparation of 4,5-diaryloxazole derivatives as prostaglandin I2
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IN Taniguchi, Kiyoshi; Hattori, Kouji; Tsubaki, Kazunori; Okitsu, Osamu;
Tabuchi, Seiichiro
PA Fujisawa Pharmaceutical Co., Ltd., Japan
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Merck & Co., Inc.
PCT Int. Appl., 1
CODEN: PIXXD2
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INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE LANGUAGE: FAMILY ACC. NUM. PATENT INFORMATI

PATENT INFORMATION:			, ;			)		į	•	
PATENT NO.	KIND	DATE	ΑP	APPLICATION NO.	N NOI	0.		DATE		
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MARPAT 137:216874

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AB Certain novel 4-substituted N-acylated piperidine derivs., specifically I, are agonists of the human melanocortin receptor(s) and, in particular, are selective agonists of the human melanocortin-4 receptor (MC-4R) [wherein: p = 1 or 2; q = 0, 1, or 2; R1 = H, amidino, alkyliminoyl, (un) substituted alkyl, (CH2)n-G1 [G1 = (un) substituted cycloalkyl, ph. naphthyl, or heteroaryl]; R2 = (un) substituted ph. naphthyl, or heteroaryl]; R2 = (un) substituted ph. naphthyl, or heteroaryl, heterocyclyl, cyano, COMH2, CO2H, OH, MH2, and various derivs.] where any of (CH2)n may also be substituted; including pharmaceutically acceptable salts]. They are therefore useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MC-4R, such as obesity, diabetes, sexual dysfunction, including erectile dysfunction and female sexual dysfunction. Approx. 180 invention compds. I and approx. 25 intermediates were prepared For instance, (2-bromo-5-chlorophenyl) acetic acid underwent a sequence of Me esterification, coupling with tert-Bu 4-[[(trifluoromethyl)sulfonyl)-3, 6-dihydropyridine-1(2H)-carboxylate via a boronate ester, removal of the BOC group, and amidation with (35.4R)-1-(tert-butyl)-4-(2,4-difluorophenyl)pyrrolidine-3-carboxylate via a boronate ester, removal of the BOC group, and amidation with (35.4R)-1-(tert-butyl)-4-(2,4-doted) and approx. Thus the compound II. Representative compds. I bound to cloned human MC-4R in vitro with IC50 values generally below 2 µM, and also acted as agonists toward cloned human MCR in a functional assay with EC50 values less than 1 µM.

THE PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

cloned human MC-4R in vitro with IC50 values generally below 2 µM, and also acted as agonists toward cloned human MCR in a functional assay with EC50 values less than 1 µM.

IT 455957-21-8P 455957-22-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of acylated piperidine derivs., particular (pyrrolidinylcarbonyl)piperidines, (piperidinylcarbonyl)piperidines, and analogs, as melanocortin-4 receptor agonists)

N 4-Oxazolecarboxamide, N-[2-[1-[[(3S,4R)-4-(2,4-difluorophenyl)-1-(1;1-dimethylethyl)-3-pyrrolidinyl]carbonyl]-4-piperidinyl]phenyl]- (9CI) (CA

andidate; preparation of acylated piperidine derivs., particularly idinylcarbonyl)piperidines, (piperidinylcarbonyl)piperidines, logs, as melanocortin-4 receptor agonists)

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Absolute stereo chemistry.

CAPLUS

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455957-22-9 5-Oxazoleca dimethyleth INDEX NAME) arboxamide,  $N-\{2-\{1-\{\{(3S,4R)-4-(2,4-difluorophenyl)-1-(1,1-hyl)-3-pyrrolidinyl\}carbonyl\}-4-piperidinyl\}phenyl}- (9CI)$ 

Absolute stereochemistry.

ACCESSION NUMBER:
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TITLE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM OTHER SOURCE(S): AU 767179 PRIORITY APPLN. FAMILY ACC. NUM. CO PATENT INFORMATION: PATENT ASSIGNEE(S): INVENTOR (S): PATENT NO. WO 2000059502 IE, SI, P 2002541103 I 767179 Y APPT 6248755 2373717 1171122 INFO.: 9 CAPLUS COPYRIGHT 2006 ACS on STN
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133:296374
Preparation of pyrrolidine modulators of chemokine
receptor activity
Chapman, Kevin; Hale, Jeffrey; Kim, Dooseop; Lynch,
Christopher; Shah, Shrenik; Shankaran, Kothandaraman;
Shen, Dong-ming; Willoughby, Christopher; Maccoss,
Malcolm; Mills, Sander G.; Loebach, Jennifer L.;
Guthikonda, Ravindra N.
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Merck & Co., Inc., USA; et al.
PCT Int. Appl., 455 pp. CI ES SK SK CZ CZ AG COUNT: 9 台语 KIND PCT Int. Appl., CODEN: PIXXD2 MARPAT 133:296374 English 20010619 20001012 20021203 20031106 20001012
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GR, IE, 20020116 DATE FR, œ, ES, KR, KR, NO, NO, TJ, TJ, SZ, MR, WO US APPLICATION NO. WO 2000-US8996 GR, P 2000-609066 J 2000-41979 S 1999-128033P D 2000-US8996 \$ 2000-542617 \$ 2000-2373717 \$ 2000-921700 g, US, 'n, UZ, GE d PA NF, SE, YRU, GH, CH, BF, K S H & G SE, MC, 20000405 20000405 19990406 20000405 20000404 20000405 20000405 E, MC, PT, DATE 20000405 P4,

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5 5 301212-34-0 1-Pyrrolidir [[4-[4-(pheron (αR, 3S, 4S)-0 CAPLUS ineacetic acid, α-(cyclobutylmethyl)-3-(3-fluorophenyl)-4-enylmethyl)-2-oxazolyl]-1-piperidinyl]methyl]-, - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

**Q Z** 

301216-59-1 CAPLUS 
1-Pyrrolidineacetic acid, 3-(3-fluorophenyl)- $\alpha$ -(1-methylethyl)-4-[[4-[2-(phenylmethyl)-5-oxazolyl]-1-piperidinyl]methyl]-, ( $\alpha$ R, 3S, 4S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Q R

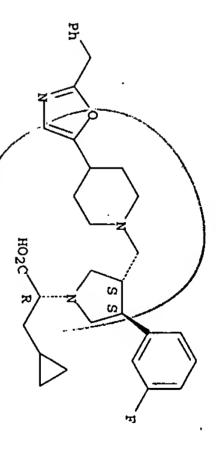
Absolute stereochemistry.

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301216-61-5 CAPLUS 1-Pyrrolidineacetic acid,  $\alpha$ -(cyclopropylmethyl)-3-(3-fluorophenyl)-4-[[4-[2-(phenylmethyl)-5-oxazolyl]-1-piperidinyl]methyl]-,

(aR, 3S, 4S) (9CI) ₹ INDEX NAME)

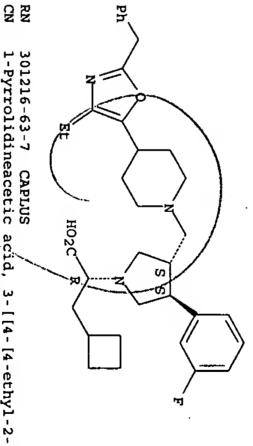
Absolute stereochemistry.



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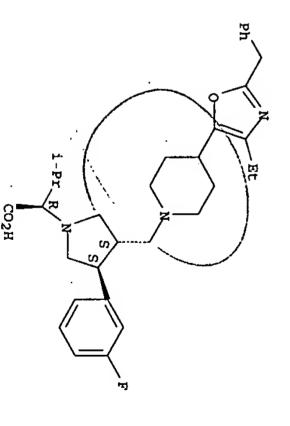
301216-62-6 CAPLUS  $1-Pyrrolidine acetic acid, \ \alpha-(cyclobutylmethyl)-3-[[4-[4-ethyl-2-(phenylmethyl)-5-oxazolyl]-1-piperidinyl]methyl]-4-(3-fluorophenyl)-, \\ (\alpha R, 3 S, 4 S)-(9 CI) (CA INDEX NAME)$ 

Absolute stereochemistry.



301216-63-7 CAPLUS / 1-Pyrrolidineacetic acid, 3-[[4-[4-ethyl-2-(phenylmethyl)-5-oxazolyl]-1-piperidinyl]methyl]-4-(3-fluorophenyl)- $\alpha$ -(1-methylethyl)-, ( $\alpha$ R, 3S, 4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



Q 22

301216-64-8 CAPLUS
1-Pyrrolidineacetic acid, α-(cyclopropylmethyl)-3-[[4-[4-ethyl-2-(phenylmethyl)-5-oxazolyl]-1-piperidinyl]methyl]-4-(3-fluorophenyl)-,(αR,3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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301216-65-9 CAPLUS  $\begin{array}{lll} & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & \\ & &$ 

Absolute stereochemistry.

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301216-66-0 CAPLUS 1-Pyrrolidineacetic acid,  $\alpha$ -(cyclopropylmethyl)-3-(3-fluorophenyl)-4-[[4-[2-{(4-fluorophenyl)methyl]-5-oxazolyl]-1-piperidinyl]methyl]-, ( $\alpha$ R, 3S, 4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

**S S** 

301216-67-1 CAPLUS 1-Pyrrolidineacetic acid,  $\alpha$ -(1,1-dimethylethyl)-3-[[4-[4-ethyl-2-

(phenylmethyl)-5-oxazolyl]-1-piperidinyl]methyl]-4-(3-fluorophenyl)-,(αR,3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Q R

301216-68-2 CAPLUS  $1-Pyrrolidine acetic acid, 3-[[4-[2-[(4-chlorophenyl)methyl]-5-oxazolyl]-1-piperidinyl]methyl]-4-(3-fluorophenyl)-\alpha-(1-methylethyl)-, \\ (\alpha R, 3 S, 4 S)-(9CI) (CA INDEX NAME)$ 

Absolute stereochemistry.

QR

301216-69-3 CAPLUS
1-Pyrrolidineacetic acid, 3-(3-fluorophenyl)-α-(1-methylethyl)-4-[[4[2-[[4-(trifluoromethyl)phenyl]methyl]-5-oxazolyl]-1-piperidinyl]methyl]-,
(αR,3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Q 2 301216-70-6 CAPLUS 1-Pyrrolidineacetic

1-Pyrrolidineacetic acid, 3-[{4-[2-[(4-chlorophenyl)methyl]-4-ethyl-5-oxazolyl]-1-piperidinyl]methyl]-4-(3-fluorophenyl)- $\alpha$ -(1-methylethyl)-, ( $\alpha$ R, 3S, 4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

H 301221-78-3P

**₽**₽ RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(Reactant or reagent)
(preparation of pyrrolidine modulators of chemokine receptor activity)
301221-78-3 CAPLUS
1-Pyrrolidineacetic acid, 3-(3-fluorophenyl)-α-(1-methylethyl)-4-[[4-[2-(phenylmethyl)-5-oxazolyl]-1-piperidinyl]methyl]-, (3-methoxyphenyl)methyl ester, (αR,3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

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L4 ANSWER 9 OF 9 ACCESSION NUMBER: DOCUMENT NUMBER: 9 CAPLUS S COPYRIGHT 1997:220630 CAPLUS 9

126:212136

Preparation of 4,5-diaryloxazole derivatives prostaglandin I2 antagonists.
Taniguchi, Kiyoshi; Hattori, Kouji; Tsubaki, Okitsu, Osamu; Tabuchi, Seiichiro

Kazunori;

Fujisawa Phar PCT Int. Appl Pharmaceutical Co.,

CODEN: PIXXD2

PATENT ASSIGNEE(S): SOURCE:

INVENTOR (S):

LANGUAGE: FAMILY ACC PATENT INI DOCUMENT TYPE: ACC. NUM. COUNT: INFORMATION: English 1 Patent

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GI PRIORITY Š PATENT NO. 224380 842161 R: AT, 1196726 401408 2227442 9606126 9900881 1213285 1213285 716304 842161 9703973 842161 9664697 .095839 150919 AT, AT, BE, 19970206 JP, KR, MX, DK, ES, FI, DK, 20000224 19980520 20020918 ES, FR, 19981021 20021211 19990817 19990830 20020612 20020703 , ES, FR, 20021015 20030228 20030301 19991026 20011009 20000811 19970206 19970210 19970218 GB, GB, 3, GR, IT, LI, LU, AT 1996-924137 PT 1996-924137 ES 1996-924137 US 1998-983139 US 1999-357664 GB 1995-15085 AU 1996-9002 EP 1996-924137 WO 1996-JP1996 US 1998-983139 JP 1997-504319 HU 1999-881 EP 2002-3081 WO 1996-JP1996

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AU 1996-64697 GR, IT, LI, LU, CN 1996-197084 EP 1996-924137 APPLICATION NO. NL, NI, 2 × 2 × × MD, RU, TJ, MC, NL, PT, 19960717 19960718 19960718 19960718 SE, SE, PT, IE, 19960718 E, PT, IE, 19960718 19960718 19980121 19980720 19950721 19960329 19960718 19960718 19980121 19960718 19960718 19960718 19960718 19960718 SE H FI

R1A1OnA2A3

R4 = H, alkyl, OH, aryl; A1 = lower alkylene; A2 = R4-substituted) aryl; R4 = H, alkyl, OH, aryl; A1 = lower alkylene; A2 = R4-substituted Ph, dihydronaphthyl, tetrahydronaphthyl, indanyl; A3 = A4A5; A4 = bond, CH2, CO; A5 = (substituted) cycloalkenyl, cycloalkyl, bicycloheptyl, bicycloheptyl, piperidinyl; n = 0, 1], were prepared Thus, 2-(4,5-diphenyloxazol-2-yl)-3-(3-tert-butyldiphenylsilyloxybenzyl)tetrahyd rofuran (preparation given) in THF was treated with Bu4NF and the product was stirred with EtO2CCH2Br and K2CO3 in DMF to give Et [3-[[2-(4,5-diphenyloxazol-2-yl))tetrahydrofuran-3-yl]methyl]phenoxy]acetate. Na [3-[[2-(4,5-diphenyloxazol-2-yl)-2-cyclohepten-1-yl]methyl]phenoxy]acetate at 10-7 M gave 88% inhibition of ADP-induced human platelet aggregation. I87992-14-9P RI. pac /mi-ĀВ Sem

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 4,5-diaryloxazole derivs. as prostaglandin I2 antagonis

antagonists)

Q R 187992-00-3 CAPLUS
Acetic acid, [3-[[2-(4,5-diphenyl-2-oxazolyl)-1-(phenylmethyl)-3-pyrrolidinyl]methyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

Q & 187992-08-1 CAPLUS
Acetic acid, [3-[{2-(4,5-diphenyl-2-oxazolyl)-1-(phenylmethyl)-3-pyrrolidinyl]methyl]phenoxy]-, sodium salt (9CI) (CA INDEX NAME)

QZ 187992-13-8 CAPLUS
Acetic acid, {3-[[2-(4,5-diphenyl-2-oxazolyl)-3pyrrolidinyl]methyl]phenoxy]- (9CI) (CA INDEX NAME)

Na

Ph

187992-14-9 CAPLUS

> S Acetic acid, [3-[{1-acetyl-2-(4,5-diphenyl-2-oxazolyl)-3-pyrrolidinyl]methyl]phenoxy]- (9CI) (CA INDEX NAME)

T 187993-32-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(Reactant or reagent)
(preparation of 4,5-diaryloxazole derivs. as prostaglandin I2 antagonists)
187993-32-4 CAPLUS
187993-32-4 CAPLUS
Oxazole, 2-[3-[(3-methoxyphenyl)methyl]-1-(phenylmethyl)-2-pyrrolidinyl]-4,5-diphenyl- (9CI) (CA INDEX NAME)

Q 2

absolute ANSWER 2 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN 646998-75-6
RL: PRP (Properties)
(ab initio and vibrational CD and IR spectroscopy on determination of

configuration and solution conformation of disubstituted pyrrolidine acid) 646998-75-6 CAPLUS 1,3-Pyrrolidinedicarboxylic acid, 4-[[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]methyl]-, 1-phenyl ester, (3R,4S)-rel-(9CI) (CAINDEX NAME)

Relative stereochemistry.

CA SUBSC	DISCOUNT	FULL EST	COST IN U.S
CA SUBSCRIBER PRICE	DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	FULL ESTIMATED COST	=> LOG HOLD COST IN U.S. DOLLARS
-2.25	SINCE FILE	32.10	SINCE FILE
-2.25	TOTAL	201.60	TOTAL

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